

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 1159WOORD01	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/EP 03/14069	International filing date (<i>day/month/year</i>) 11.12.2003	Priority date (<i>day/month/year</i>) 13.12.2002
International Patent Classification (IPC) or both national classification and IPC C07D235/06		
Applicant ALTANA PHARMA AG		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.



2. This REPORT consists of a total of 5 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 11.06.2004	Date of completion of this report 04.02.2005
Name and mailing address of the international preliminary examining authority:  European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	Authorized Officer Allard, M Telephone No. +31 70 340-2002 <div style="text-align: right;">  </div>

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP 03/14069

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-64 as originally filed

Claims, Numbers

1-12 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

**INTERNATIONAL PRELIMINARY
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International application No. PCT/EP 03/14069

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application,
☒ claims Nos. 12 (as to industrial applicability only)

because:

- ☒ the said international application, or the said claims Nos. 12 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

- ☐ the written form has not been furnished or does not comply with the Standard.
☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-12
	No: Claims	-
Inventive step (IS)	Yes: Claims	4, 6, 7
	No: Claims	1-3, 5, 8-12
Industrial applicability (IA)	Yes: Claims	1-11
	No: Claims	-

2. Citations and explanations

see separate sheet

Reference is made to the following documents:

D1: EP-A-0 266 326 (AKTIEBOLAGET HÄSSLE) 4 May 1988 (1988-05-04)

D2: WO 97/47603 A (ASTRA AKTIEBOLAG) 18 December 1997 (1997-12-18)

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claim 12 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of this claim (Article 34(4)(a)(I) PCT).

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Novelty (Article 33(2) PCT)

The available prior art does not disclose benzimidazoles having a -X-Y substituent as defined in claim 1 in position 4 or 6: the subject-matter of claims 1-12 is therefore novel.

Inventive step (Article 33(3) PCT)

The subject-matter of claims 1-3, 5, and 8-12 does not involve an inventive step:

D1 and D2, which can both be considered as closest prior art, describe benzimidazole derivatives substituted on the benzene ring by, amongst others, a possibly substituted benzyloxy or benzylamino radical, which can be attached to the 4 (or equivalent 6) position of the benzimidazole ring-system. These compounds are useful as gastric secretion inhibitors.

In the light of the teachings of D1 and/or D2, the problem underlying and solved (see table at page 63 of the description) by the present application can be seen in the provision of further gastric secretion inhibitors.

To solve this problem, the present application proposes amongst others to modify the substitution-pattern of the compounds disclosed in D1 and/or D2, particularly with regard to the 1, 2 and 6 positions, maintaining however a benzyloxy or benzylamino residue in position 6, in a manner which is usual in the design of alternative drugs, and which does not involve an inventive step in the absence of resulting unexcepted effects.

The subject-matter of claims 4, 6 and 7 involves an inventive step:

The subject-matter of these claims results from the replacement of the 6-benzylamino or 6-benzyloxy radical in the compounds disclosed in D1 and/or D2 by a bicyclic-amino or bicyclic-oxy radical which is not suggested by the teachings of the prior art.

Industrial applicability (Article 33(4) PCT)

The compounds and medicaments of claims 1-11 can be used in the pharmaceutical industry.

For the assessment of the present claim 12 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States.